

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference X16846M	FOR FURTHER ACTION <small>see Form PCT/ISA/220 as well as, where applicable, item 5 below.</small>	
International application No. PCT/US2005/000021	International filing date (day/month/year) 18/01/2005	(Earliest) Priority Date (day/month/year) 22/01/2004
Applicant ELI LILLY AND COMPANY		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 7 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. ☐ With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. ☒ **Certain claims were found unsearchable** (See Box II).

3. ☐ **Unity of invention is lacking** (see Box III).

4. With regard to the **title**,

☒ the text is approved as submitted by the applicant.

☐ the text has been established by this Authority to read as follows:

5. With regard to the **abstract**,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. With regard to the **drawings**,

- a. the figure of the **drawings** to be published with the abstract is Figure No. _____

☐ as suggested by the applicant.

☐ as selected by this Authority, because the applicant failed to suggest a figure.

☐ as selected by this Authority, because this figure better characterizes the invention.

- b. ☐ none of the figures is to be published with the abstract.

INTERNATIONAL SEARCH REPORT

International Application No
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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D295/08 C07D209/46 C07D307/83 C07D209/48 C07D311/78
C07D409/04 C07D333/64 C07D295/18 A61K31/4453 A61K31/4035
A61K31/343 A61K31/381 A61K31/5375 A61P5/32

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BIOSIS, MEDLINE, EMBASE, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>GRESE, TIMOTHY A. ET AL: "Structure-Activity Relationships of Selective Estrogen Receptor Modulators: Modifications to the 2-Arylbenzothiophene Core of Raloxifene" JOURNAL OF MEDICINAL CHEMISTRY, 40(2), 146-167 CODEN: JMCMAR; ISSN: 0022-2623, 1997, XP002050782 page 148 - page 149; compounds 10K,23A-23G ----- -/--</p>	19,36,52

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

8 June 2005

Date of mailing of the international search report

28/06/2005

Name and mailing address of the ISA

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INTERNATIONAL SEARCH REPORT

 International Application No
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	✓ KAUFFMAN, RAYMOND F. ET AL: "Hypocholesterolemic activity of raloxifene (LY139481): pharmacol. characterization as a selective estrogen receptor modulator" JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS , 280(1), 146-153 CODEN: JPETAB; ISSN: 0022-3565, 1997, XP002330951 page 151; compound 7 -----	19
Y	✓ EP 0 729 956 A (ELI LILLY AND COMPANY) 4 September 1996 (1996-09-04) page 3, line 9 - line 41; claims 1-9,21 -----	1-63
Y	✓ EP 0 703 228 A (ELI LILLY AND COMPANY) 27 March 1996 (1996-03-27) page 3, line 15 - line 35; claims 1-10 -----	1-63
Y	✓ EP 0 895 989 A (ELI LILLY AND COMPANY) 10 February 1999 (1999-02-10) page 2, line 19 - line 25; claims 1-3,5-7 -----	1-63
Y	✓ EP 0 761 659 A (ELI LILLY AND COMPANY) 12 March 1997 (1997-03-12) claims 1-4,8,13,14 -----	1-63
Y	✓ US 6 204 286 B1 (CAMERON KIMBERLY O ET AL) 20 March 2001 (2001-03-20) claims 1-3,16; examples 8,9 -----	1-63

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Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 33, 34
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 33 and 34 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 0729956	A	04-09-1996	US 5510357 A	23-04-1996
			US 5998441 A	07-12-1999
			US 5977093 A	02-11-1999
			US 5856339 A	05-01-1999
			US 5919800 A	06-07-1999
			AT 207913 T	15-11-2001
			AU 699753 B2	17-12-1998
			AU 4579796 A	05-09-1996
			BR 9600829 A	30-12-1997
			CA 2170479 A1	29-08-1996
			CN 1159448 A ,C	17-09-1997
			CZ 9600588 A3	11-09-1996
			DE 69616396 D1	06-12-2001
			DE 69616396 T2	11-07-2002
			DK 729956 T3	26-11-2001
			EP 1113013 A1	04-07-2001
			EP 0729956 A1	04-09-1996
			ES 2163587 T3	01-02-2002
			FI 960909 A	29-08-1996
			HK 1013991 A1	13-09-2002
			HU 9600448 A2	28-09-1998
			HU 9600476 A2	28-06-1999
			IL 117276 A	31-10-2001
			IL 132952 A	10-02-2002
			IL 132953 A	10-02-2002
			IL 132954 A	10-02-2002
			IN 184372 A1	12-08-2000
			JP 9183776 A	15-07-1997
			NO 960796 A	29-08-1996
			NZ 286079 A	19-12-1997
			PL 312955 A1	02-09-1996
			PT 729956 T	28-03-2002
			RU 2158737 C2	10-11-2000
			SG 64896 A1	25-05-1999
			SG 90193 A1	23-07-2002
			SI 729956 T1	30-04-2002
			TR 960839 A2	21-10-1996
			US 6197790 B1	06-03-2001
			US 5985898 A	16-11-1999
			US 6030986 A	29-02-2000
			US 5969142 A	19-10-1999
			US 5981765 A	09-11-1999
			US 5986109 A	16-11-1999
			US 5492922 A	20-02-1996
			US 5488058 A	30-01-1996
			US 5510498 A	23-04-1996
			US 5510358 A	23-04-1996
			US 5723474 A	03-03-1998
EP 0703228	A	27-03-1996	AT 214058 T	15-03-2002
			AU 697114 B2	24-09-1998
			AU 3686395 A	09-04-1996
			BR 9508967 A	30-12-1997
			CA 2200449 A1	28-03-1996
			CZ 9700822 A3	17-12-1997
			DE 69525699 D1	11-04-2002
			DE 69525699 T2	21-11-2002
			DK 703228 T3	21-05-2002

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Information on patent family members

International Application No

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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0703228	A	EP 0703228 A1	27-03-1996
		ES 2173154 T3	16-10-2002
		HU 77919 A2	28-10-1998
		IL 115335 A	26-07-2000
		IL 128310 A	20-05-2001
		JP 10506392 T	23-06-1998
		NO 971278 A	14-05-1997
		NZ 294177 A	28-10-1998
		NZ 331264 A	25-08-2000
		PL 319454 A1	04-08-1997
		PT 703228 T	31-07-2002
		RU 2165924 C2	27-04-2001
		SI 703228 T1	31-08-2002
		TR 960231 A2	21-06-1996
		TW 399036 B	21-07-2000
		WO 9609039 A1	28-03-1996
		US 6437137 B1	20-08-2002
		US 5484795 A	16-01-1996
		US 5484796 A	16-01-1996
		US 6410564 B1	25-06-2002
		US 5484797 A	16-01-1996
		ZA 9507857 A	18-03-1997
EP 0895989	A	10-02-1999	
		AU 8683398 A	01-03-1999
		CA 2298651 A1	18-02-1999
		EP 0895989 A1	10-02-1999
		JP 2001513501 T	04-09-2001
		WO 9907377 A1	18-02-1999
		US 6509356 B1	21-01-2003
EP 0761659	A	12-03-1997	
		AT 240948 T	15-06-2003
		AU 703317 B2	25-03-1999
		AU 6682196 A	26-02-1997
		BR 9609844 A	09-03-1999
		CA 2228178 A1	13-02-1997
		CN 1198668 A	11-11-1998
		CZ 9800276 A3	15-07-1998
		DE 69628246 D1	26-06-2003
		DE 69628246 T2	25-03-2004
		EP 0761659 A1	12-03-1997
		ES 2198462 T3	01-02-2004
		HU 9802408 A2	28-01-1999
		JP 11510798 T	21-09-1999
		NO 980395 A	26-03-1998
		NZ 315174 A	29-07-1999
		PL 324816 A1	22-06-1998
		RU 2167158 C2	20-05-2001
		TR 9800132 T1	21-05-1998
		WO 9704763 A1	13-02-1997
		US 5811421 A	22-09-1998
US 6204286	B1	20-03-2001	
		US 5552412 A	03-09-1996
		AT 214382 T	15-03-2002
		CA 2209925 A1	18-07-1996
		DE 69525857 D1	18-04-2002
		DE 69525857 T2	28-11-2002
		DK 802910 T3	21-05-2002
		EP 0802910 A1	29-10-1997

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Information on patent family members

International Application No

PCT/US2005/000021

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 6204286	B1	FI 972903 A	08-07-1997
		JP 2972347 B2	08-11-1999
		JP 10503215 T	24-03-1998
		US 6153622 A	28-11-2000
		AP 592 A	05-05-1997
		AU 700982 B2	14-01-1999
		AU 4091696 A	18-07-1996
		BG 62256 B1	30-06-1999
		BG 100278 A	31-05-1996
		BR 9600079 A	27-01-1998
		CN 1136562 A ,C	27-11-1996
		CZ 9600055 A3	16-10-1996
		EP 1151998 A1	07-11-2001
		EP 1411049 A1	21-04-2004
		ES 2172579 T3	01-10-2002
		HR 960010 A1	31-12-1997
		HU 9600056 A2	28-12-1998
		WO 9621656 A1	18-07-1996
		IL 116643 A	13-08-2000
		IL 130761 A	06-12-2000
		KR 190727 B1	01-06-1999
		LV 11460 A ,B	20-08-1996
		MA 23768 A1	01-10-1996
		NO 960081 A	10-07-1996
		NZ 280792 A	24-11-1997
		OA 10254 A	19-09-1997
		PL 312182 A1	22-07-1996
		PT 802910 T	31-07-2002
		RO 116275 B	29-12-2000
		RU 2130454 C1	20-05-1999
		SG 47377 A1	17-04-1998
		SI 9600004 A	31-10-1996
		SK 164895 A3	07-05-1997
		TR 960693 A2	21-08-1996
		US 2002132816 A1	19-09-2002
		US 6441193 B1	27-08-2002
		ZA 9600095 A	08-07-1997